

as a species in claim 30. For the Examiner's convenience, the name of the compound is shown below.

EXAMPLE 1

N-Ac-Sar-Gly-Lys(Ac)-D-Leu-Thr-Nva-Ile-Arg-Pro-NHEt

30

Applicants reserve the right to file divisional applications on any non-pending or non-elected subject matter.

Applicants apologize for the confusion regarding the restriction response sent on February 12, 2003. Should the Examiner have questions or concerns regarding the foregoing,  
35 he is respectfully invited to contact the undersigned by telephone at the phone number provided below.



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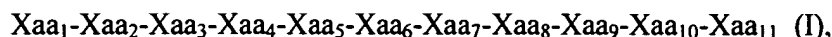
ABBOTT LABORATORIES  
Telephone: (847) 935-7956  
Facsimile: (847) 938-2623

Respectfully submitted,  
F. Haviv, et al.

Johanna M. Corbin  
Registration No. 51,582  
Attorney for Applicants

40    **Amended Version of Claims:**

1 (currently amended). A compound of formula (I)



or a pharmaceutically acceptable salt thereof, wherein

45    ~~Xaa<sub>1</sub> is absent or Xaa<sub>1</sub> is selected from the group consisting of hydrogen and~~  
an acyl group, wherein the acyl group is selected from the group consisting of  
R<sup>1</sup>-(CH<sub>2</sub>)<sub>n</sub>-C(O)-, wherein n is an integer from 0 to 8 and R<sup>1</sup> is selected from the  
group consisting of N-acetylamino, alkoxy, alkyl, aryl, carboxy, cycloalkenyl,  
cycloalkyl, heterocycle, hydroxy; and  
50    R<sup>2</sup>-CH<sub>2</sub>CH<sub>2</sub>-O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>p</sub>-CH<sub>2</sub>-C(O)-, wherein p is an integer from 1 to 8 and  
R<sup>2</sup> is selected from the group consisting of hydrogen, N-acetylamino, and alkyl;

Xaa<sub>2</sub> is an amino acyl residue selected from the group consisting of

55    alanyl,  
β-alanyl,  
asparaginy, l,  
citrully, l,  
N-ethylglycyl,  
glutaminyl,  
60    glutamyl,  
methionyl,  
N-methylalanyl,  
N-methylprolyl,  
prolyl,  
65    pyro-glutamyl,  
sarcosyl,  
seryl,  
threonyl,  
H<sub>3</sub>C-C(O)-HN-(CH<sub>2</sub>)<sub>q</sub>-C(O)-, wherein q is an integer from 1 to 8, and  
70    H<sub>3</sub>C-C(O)-HN-CH<sub>2</sub>CH<sub>2</sub>-O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>-CH<sub>2</sub>-C(O)-, wherein r is an integer  
from 1 to 8;

with the proviso that Xaa<sub>1</sub> is absent when Xaa<sub>2</sub> is N-methylprolyl, H<sub>3</sub>C-C(O)-HN-(CH<sub>2</sub>)<sub>q</sub>-C(O)-, or H<sub>3</sub>C-C(O)-HN-CH<sub>2</sub>CH<sub>2</sub>-O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>-CH<sub>2</sub>-C(O)-;

75    Xaa<sub>3</sub> is an amino acyl residue selected from the group consisting of

80      alanyl,  
         asparaginylyl,  
         aspartyl,  
         glutaminylyl,  
         glutamyl,  
         glycyl,  
         leucyl,  
         methionyl,  
         phenylalanyl,  
85      prolyl, and  
         seryl;

         Xaa<sub>4</sub> is an amino acyl residue selected from the group consisting of  
90      alloisoleucyl,  
         allylglycyl,  
         2-aminobutyryl,  
         (1R,4S)-1-aminocyclopent-2-ene-4-carbonyl,  
         aspartyl,  
         3-(5-bromothiophen-2-yl)alanyl,  
95      3-(3-chlorophenyl)alanyl,  
         3-(4-chlorophenyl)alanyl,  
         3-(3-cyanophenyl)alanyl,  
         cysteinyl(S-ethyl),  
         cysteinyl(S-methyl),  
100      2,4-diaminobutanoyl,  
         2,3-diaminopropionyl,  
         3-(3,4-dimethoxyphenyl)alanyl,  
         3-(3-fluorophenyl)alanyl,  
         3-(4-fluorophenyl)alanyl,  
105      histidyl,  
         homophenylalanyl,  
         homoseryl,  
         lysyl(N-epsilon-acetyl),  
         methionyl(sulfone),  
110      methionyl(sulfoxide),  
         3-(4-methylphenyl)alanyl,  
         3-(naphth-1-yl)alanyl,

115 3-(naphth-2-yl)alanyl,  
ornithyl,  
phenylglycyl,  
prolyl,  
3-(3-pyridyl)alanyl,  
seryl(benzyl),  
styrylalanyl,  
120 1,2,3,4-tetrahydroisoquinoline-3-carbonyl,  
3-(thiazolyl)alanyl,  
3-(thien-2-yl)alanyl,  
D-3-(thien-2-yl)alanyl,  
tryptyl,  
125 tyrosyl, and  
D-valyl;

Xaa<sub>5</sub> is an amino acyl residue selected from the group consisting of

130 D-alanyl,  
alloisoleucyl,  
D-alloisoleucyl,  
D-allothreonyl,  
D-allylglycyl,  
D-2-aminobutyryl,  
135 D-3-(4-aminophenyl)alanyl,  
D-asparaginy,   
D-aspartyl,  
D-3-(4,4'-biphenyl)alanyl,  
D-*t*-butylglycyl,  
140 D-3-(4-chlorophenyl)alanyl,  
D-citrullyl,  
D-3-(3-cyanophenyl)alanyl,  
D-cyclohexylalanyl,  
D-cyclohexylglycyl,  
145 D-cysteiny,   
D-cysteiny(S-*t*-butyl),  
dehydroleucyl,  
D-3-(3,4-difluorophenyl)alanyl,  
D-3-(3,4-dimethoxyphenyl)alanyl,

150 D-glutaminy, D-glutamyl,  
glycyl,  
D-histidyl,  
D-hom isoleucyl,  
155 D-homophenylalanyl,  
D-homoseryl,  
isoleucyl,  
D-isoleucyl,  
D-leucyl,  
160 D-lysyl,  
D-lysyl(N-epsilon-nicotinyl),  
D-methionyl,  
D-3-(4-methylphenyl)alanyl,  
D-3-(naphth-1-yl)alanyl,  
165 D-3-(naphth-2-yl)alanyl,  
D-neopentylglycyl,  
D-3-(4-nitrophenyl)alanyl,  
D-norleucyl,  
D-norvalyl,  
170 D-ornithyl,  
D-penicillaminy, D-penicillaminy(S-acetamidomethyl),  
D-penicillaminy(S-benzyl),  
D-penicillaminy(S-methyl),  
175 D-phenylalanyl,  
prolyl,  
D-prolyl,  
D-3-(3-pyridyl)alanyl,  
D-seryl,  
180 D-seryl(O-benzyl),  
D-3-(thien-2-yl)alanyl,  
D-threonyl,  
D-threonyl(O-benzyl),  
D-3-(3-trifluoromethylphenyl)alanyl,  
185 D-3-(3,4,5-trifluorophenyl)alanyl,  
D-tryptyl,

190 D-tyrosyl(O-benzyl),  
D-tyrosyl(O-ethyl),  
D-tyrosyl, and  
D-valyl;

Xaa<sub>6</sub> is an amino acyl residue selected from the group consisting of  
alanyl,  
allothreonyl,  
195 D-allothreonyl,  
allylglycyl,  
asparaginyll,  
cysteinyll,  
glutaminyll,  
200 glycyl,  
histidyl,  
homoseryl,  
D-homoseryl,  
3-(4-hydroxymethylphenyl)alanyl,  
205 isoleucyl,  
lysyl(N-epsilon-acetyl),  
methionyl,  
3-(naphth-1-yl)alanyl,  
3-(naphth-2-yl)alanyl,  
210 norvalyl,  
octylglycyl,  
ornithyl,  
penicillaminyll,  
prolyl,  
215 3-(3-pyridyl)alanyl,  
seryl,  
D-seryl,  
threonyll,  
D-threonyll,  
220 tryptyl, and  
tyrosyl;

Xaa<sub>7</sub> is an amino acyl residue selected from the group consisting of

225           alanyl,  
             allylglycyl,  
             2-aminobutyryl,  
             arginyl,  
             asparaginylyl,  
             aspartyl,  
230           3-(4-carboxyamidophenyl)alanyl,  
             citrullyl,  
             cyclohexylalanyl,  
             cysteinyl,  
             glutaminyl,  
235           D-glutaminyl,  
             glutamyl,  
             glycyl,  
             histidyl,  
             homoalanyl,  
240           homoleucyl,  
             homoseryl,  
             D-homoseryl,  
             isoleucyl,  
             leucyl,  
245           D-leucyl,  
             lysyl(N-epsilon-acetyl),  
             lysyl(N-epsilon-isopropyl),  
             methionyl(sulfone),  
             methionyl(sulfoxide),  
250           methionyl,  
             3-(naphth-1-yl)alanyl,  
             D-3-(naphth-1-yl)alanyl,  
             3-(naphth-2-yl)alanyl,  
             D-3-(naphth-2-yl)alanyl,  
255           norleucyl,  
             norvalyl,  
             D-norvalyl,  
             octylglycyl,  
             penicillaminyl,  
260           phenylalanyl,

propargylglycyl,  
3-(3-pyridyl)alanyl,  
seryl,  
D-seryl,  
265 threonyl,  
tryptyl,  
tyrosyl, and  
valyl;

270 Xaa<sub>8</sub> is an amino acyl residue selected from the group consisting of  
alanyl,  
alloisoleucyl,  
D-alloisoleucyl,  
allylglycyl,  
275 aspartyl,  
*t*-butylglycyl,  
citrullyl,  
cyclohexylglycyl,  
cysteinyll,  
280 glutamyl,  
glycyl,  
homoseryl,  
isoleucyl,  
D-isoleucyl,  
285 leucyl,  
lysyl(N-epsilon-acetyl),  
methionyl,  
3-(naphth-1-yl)alanyl,  
3-(naphth-2-yl)alanyl,  
290 norvalyl,  
penicillaminyll,  
phenylalanyl,  
prolyl,  
seryl,  
295 tryptyl,  
tyrosyl, and  
valyl;



Xaa<sub>9</sub> is an amino acyl residue selected from

300 [(4-amino(N-isopropyl)methyl)phenyl]alanyl,  
3-(4-amino-N-isopropylphenyl)alanyl,  
arginyl,  
arginyl(N<sup>G</sup>N<sup>G</sup>diethyl),  
citrullyl,  
305 3-(cyclohexyl)alanyl(4-N-isopropyl),  
glycyl[4-piperidiny(N-amidino)],  
(3-guanidino)alanyl,  
3-(4-guanidinophenyl)alanyl,  
histidyl,  
310 homoarginyl,  
lysyl,  
lysyl(N-epsilon-isopropyl),  
lysyl(N-epsilon-nicotinyl),  
norarginyl,  
315 ornithyl(N-delta-isopropyl),  
ornithyl(N-delta-nicotinyl),  
ornithyl[N-delta-(2-imidazoliny)],  
[4-piperidiny(N-amidino)]alanyl, and  
[3-pyrrolidiny(2-N-amidino)]alanyl;

320

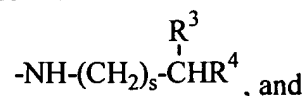
Xaa<sub>10</sub> is an amino acyl residue selected from the group consisting of

D-alanyl,  
2-aminobutyryl,  
2-aminoisobutyryl,  
325 *t*-butylglycyl,  
homopropyl,  
hydroxypropyl,  
isoleucyl,  
leucyl,  
330 phenylalanyl,  
prolyl,  
D-prolyl,  
seryl,  
1,2,3,4-tetrahydroisoquinoline-3-carbonyl,

335           threonyl, and  
              valyl;

Xaa<sub>11</sub> is a hydroxy group or an amino acid amide selected from the group consisting of

340           D-alanylamine,  
              D-alanylethylamine,  
              azaglycylamine,  
              glycylamine,  
              glycylethylamine,  
345           sarcosylamine,  
              serylamine,  
              D-serylamine,  
              a residue represented by the formula



350           a group represented by the formula  $-\text{NH}-\text{R}^5$ ; wherein  
              s is an integer selected from 0 to 8;  
              R<sup>3</sup> is selected from the group consisting of hydrogen, alkyl, and a 5-to 6-  
              membered cycloalkyl ring;  
              R<sup>4</sup> is selected from the group consisting of hydrogen, alkoxy, alkyl, aryl,  
355           cycloalkenyl, cycloalkyl, heterocycle, and hydroxy;  
              provided that s is not zero when R<sup>4</sup> is hydroxy or alkoxy; and  
              R<sup>5</sup> is selected from hydrogen, hydroxy, and cycloalkyl.

2 (currently amended). A compound according to Claim 1, wherein ~~Xaa<sub>1</sub> is absent or~~ is  
selected from the group consisting of

              hydrogen,  
              acetyl,  
5            N-acetyl-β-alanyl,  
              (4-N-acetylamino)butyryl,  
              (6-N-acetylamino)caproyl,  
              (8-N-acetylamino)-3,6-dioxo-octanoyl,  
              butyryl,  
10           caproyl,  
              5-chloro-2-hydroxynicotinyl,  
              5-chloro-6-hydroxynicotinyl,

15 2-chloroisonicotinyl,  
2-chloro-6-methylnicotinyl,  
cyclohexylacetyl,  
furoyl,  
2-hydroxy-6-methylnicotinyl,  
6-hydroxynicotinyl,  
6-hydroxy-2-picolinyl,  
20 isonicotinyl,  
2-methoxyacetyl,  
2-methylnicotinyl,  
6-methylnicotinyl,  
(4-methyl)phenylacetyl,  
25 nicotinyl,  
phenylacetyl,  
propionyl,  
shikimyl,  
succinyl, and  
30 tetrahydrofuroyl.

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3 (original). A compound according to Claim 2 wherein Xaa<sub>1</sub> is selected from the group consisting of

acetyl, and  
6-methylnicotinyl.

5

4 (original). A compound according to Claim 1 wherein Xaa<sub>2</sub> is selected from the group consisting of

alanyl,  
β-alanyl,  
5 asparaginyl,  
citrullyl,  
N-ethylglycyl,  
glutaminyl,  
glutamyl,  
10 methionyl,  
N-methylalanyl,  
N-methylprolyl,  
prolyl,

15           pyro-glutamyl,  
             sarcosyl,  
             seryl,  
             threonyl,  
              $\text{H}_3\text{C}-\text{C}(\text{O})-\text{HN}-(\text{CH}_2)_q-\text{C}(\text{O})-$ , wherein q is an integer from 1 to 8, and  
              $\text{H}_3\text{C}-\text{C}(\text{O})-\text{HN}-\text{CH}_2\text{CH}_2-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_r-\text{CH}_2-\text{C}(\text{O})-$ , wherein r is an integer from 1  
20           to 8.

5 (original). A compound according to Claim 4, wherein  $\text{Xaa}_2$  is sarcosyl.

6 (original). The compound according to Claim 1 wherein  $\text{Xaa}_3$  is selected from the group consisting of

             alanyl,  
             asparaginyll,  
5           aspartyl,  
             glutaminyll,  
             glutamyl,  
             glycyl,  
             leucyl,  
10           methionyl,  
             phenylalanyl,  
             prolyl, and  
             seryl.

7 (original). A compound according to Claim 6 wherein  $\text{Xaa}_3$  is glycyl.

8 (original). A compound according to Claim 1 wherein  $\text{Xaa}_4$  is selected from the group consisting of

             alloisoleucyl,  
             allylglycyl,  
5           2-aminobutyryl,  
             (1R,4S)-1-aminocyclopent-2-ene-4-carbonyl,  
             aspartyl,  
             3-(5-bromothiophen-2-yl)alanyl,  
             3-(3-chlorophenyl)alanyl,  
10           3-(4-chlorophenyl)alanyl,  
             3-(3-cyanophenyl)alanyl,

15       cysteinyI(S-ethyl),  
          cysteinyI(S-methyl),  
          2,4-diaminobutanoyl,  
          2,3-diaminopropionyl,  
          3-(3,4-dimethoxyphenyl)alanyl,  
          3-(3-fluorophenyl)alanyl,  
          3-(4-fluorophenyl)alanyl,  
          histidyl,  
20       homophenylalanyl,  
          homoseryl,  
          lysyl(N-epsilon-acetyl),  
          methionyl(sulfone),  
          methionyl(sulfoxide),  
25       3-(4-methylphenyl)alanyl,  
          3-(naphth-1-yl)alanyl,  
          3-(naphth-2-yl)alanyl,  
          ornithyl,  
          phenylglycyl,  
30       prolyl,  
          3-(3-pyridyl)alanyl,  
          seryl(O-benzyl),  
          styrylalanyl,  
          1,2,3,4-tetrahydroisoquinoline-3-carbonyl,  
35       3-(thiazolyl)alanyl,  
          3-(thien-2-yl)alanyl,  
          D-3-(thien-2-yl)alanyl,  
          tryptyl,  
          tyrosyl, and  
40       D-valyl.

9 (original). A compound according to Claim 8 wherein Xaa<sub>4</sub> is selected from the group consisting of

          alloisoleucyl,  
          allylglycyl,  
5       2-aminobutyryl,  
          (1R,4S)-1-aminocyclopent-2-ene-4-carbonyl,  
          3-(5-bromothien-2-yl)alanyl,

10 3-(3-chlorophenyl)alanyl,  
3-(4-chlorophenyl)alanyl,  
3-(3-cyanophenyl)alanyl,  
cysteinyl(S-ethyl),  
cysteinyl(S-methyl),  
2,4-diaminobutanoyl,  
2,3-diaminopropionyl,  
15 3-(3,4-dimethoxyphenyl)alanyl,  
3-(3-fluorophenyl)alanyl,  
3-(4-fluorophenyl)alanyl,  
histidyl,  
homophenylalanyl,  
20 homoseryl,  
lysyl(N-epsilon-acetyl),  
methionyl(sulfone),  
methionyl(sulfoxide),  
3-(4-methylphenyl)alanyl,  
25 3-(naphth-1-yl)alanyl,  
3-(naphth-2-yl)alanyl,  
ornithyl,  
phenylglycyl,  
prolyl,  
30 3-(3-pyridyl)alanyl,  
seryl(O-benzyl),  
styrylalanyl,  
1,2,3,4-tetrahydroisoquinoline-3-carbonyl,  
3-(thiazolyl)alanyl,  
35 3-(thien-2-yl)alanyl,  
D-3-(thien-2-yl)alanyl,  
tryptyl,  
tyrosyl, and  
D-valyl.

40

10 (original). A compound according to Claim 1, wherein Xaa<sub>5</sub> is selected from the group consisting of

D-alanyl,  
alloisoleucyl,

5 D-alloisoleucyl,  
D-allothreonyl,  
D-allylglycyl,  
D-2-aminobutyryl,  
D-3-(4-aminophenyl)alanyl,  
10 D-asparaginyll,  
D-aspartyl,  
D-3-(4,4'-biphenyl)alanyl,  
D-*t*-butylglycyl,  
D-3-(4-chlorophenyl)alanyl,  
15 D-citrullyl,  
D-3-(3-cyanophenyl)alanyl,  
D-cyclohexylalanyl,  
D-cyclohexylglycyl,  
D-cysteinyll,  
20 D-cysteinyll(S-*t*-butyl),  
dehydroleucyl,  
D-3-(3,4-difluorophenyl)alanyl,  
D-3-(3,4-dimethoxyphenyl)alanyl,  
D-glutaminyll,  
25 D-glutamyl,  
glycyl,  
D-histidyl,  
D-homoisoleucyl,  
D-homophenylalanyl,  
30 D-homoseryl,  
isoleucyl,  
D-isoleucyl,  
D-leucyl,  
D-lysyl,  
35 D-lysyl(N-epsilon-nicotinyl),  
D-methionyl,  
D-3-(4-methylphenyl)alanyl,  
D-3-(naphth-1-yl)alanyl,  
D-3-(naphth-2-yl)alanyl,  
40 D-neopentylglycyl,  
D-3-(4-nitrophenyl)alanyl,

45 D-norleucyl,  
D-norvalyl,  
D-ornithyl,  
D-penicillaminyll,  
D-penicillaminyll(S-acetamidomethyl),  
D-penicillaminyll(S-benzyl),  
D-penicillaminyll(S-methyl),  
D-phenylalanyl,  
50 prolyl,  
D-prolyl,  
D-3-(3-pyridyl)alanyl,  
D-seryl,  
D-seryl(O-benzyl),  
55 D-3-(thien-2-yl)alanyl,  
D-threonyl,  
D-threonyl(O-benzyl),  
D-3-(3-trifluoromethylphenyl)alanyl,  
D-3-(3,4,5-trifluorophenyl)alanyl,  
60 D-tryptyl,  
D-tyrosyl(O-benzyl),  
D-tyrosyl(O-ethyl),  
D-tyrosyl, and  
D-valyl.

65

11 (original). A compound according to Claim 10 wherein Xaa<sub>5</sub> is selected from the group consisting of

isoleucyl,  
D-isoleucyl, and  
5 D-leucyl.

12 (original). A compound according to Claim 1 wherein Xaa<sub>6</sub> is selected from the group consisting of

alanyl,  
allothreonyl,  
5 D-allothreonyl,  
allylglycyl,  
asparaginyll,



10 cysteinyl,  
glutaminy,  
glycyl,  
histidyl,  
homoseryl,  
D-homoseryl,  
3-(4-hydroxymethylphenyl)alanyl,  
15 isoleucyl,  
lysyl(N-epsilon-acetyl),  
methionyl,  
3-(naphth-1-yl)alanyl,  
3-(naphth-2-yl)alanyl,  
20 norvalyl,  
octylglycyl,  
ornithyl,  
penicillaminy,  
prolyl,  
25 3-(3-pyridyl)alanyl,  
seryl,  
D-seryl,  
threonyl,  
D-threonyl,  
30 tryptyl, and  
tyrosyl.

13 (original). A compound according to Claim 12 wherein Xaa<sub>6</sub> is selected from the group consisting of

seryl, and  
threonyl.

5

14 (original). A compound according to Claim 1 wherein Xaa<sub>7</sub> is selected from the group consisting of

alanyl,  
allylglycyl,  
5 2-aminobutyryl,  
arginyl,  
asparaginy,

10 aspartyl,  
3-(4-carboxyamidophenyl)alanyl,  
citrullyl,  
cyclohexylalanyl,  
cysteinyl,  
glutaminyl,  
D-glutaminyl,  
15 glutamyl,  
glycyl,  
histidyl,  
homoalanyl,  
homoleucyl,  
20 homoseryl,  
D-homoseryl,  
isoleucyl,  
leucyl,  
D-leucyl,  
25 lysyl(N-epsilon-acetyl),  
lysyl(N-epsilon-isopropyl),  
methionyl(sulfone),  
methionyl(sulfoxide),  
methionyl,  
30 3-(naphth-1-yl)alanyl,  
D-3-(naphth-1-yl)alanyl,  
3-(naphth-2-yl)alanyl,  
D-3-(naphth-2-yl)alanyl,  
norleucyl,  
35 norvalyl,  
D-norvalyl,  
octylglycyl,  
penicillaminyl,  
phenylalanyl,  
40 propargylglycyl,  
3-(3-pyridyl)alanyl,  
seryl,  
D-seryl,  
threonyl,

45           tryptyl,  
              tyrosyl, and  
              valyl.

15 (original). A compound according to Claim 14 wherein Xaa<sub>7</sub> is selected from the group consisting of

              glutaminy, 5  
              norvalyl, and  
              seryl.

16 (original). A compound according to Claim 1 wherein Xaa<sub>8</sub> is selected from the group consisting of

              alanyl,  
              alloisoleucyl,  
5           D-alloisoleucyl,  
              allylglycyl,  
              aspartyl,  
              *t*-butylglycyl,  
              citrullyl,  
10           cyclohexylglycyl,  
              cysteiny, 5  
              glutamyl,  
              glycyl,  
              homoseryl,  
15           isoleucyl,  
              D-isoleucyl,  
              leucyl,  
              lysyl(N-epsilon-acetyl),  
              methionyl,  
20           3-(naphth-1-yl)alanyl,  
              3-(naphth-2-yl)alanyl,  
              norvalyl,  
              penicillaminy, 5  
              phenylalanyl,  
25           prolyl,  
              seryl,  
              tryptyl,

tyrosyl, and  
valyl.

30

17 (original). A compound according to Claim 16 wherein Xaa<sub>8</sub> is isoleucyl.

18 (original). A compound according to Claim 1 wherein Xaa<sub>9</sub> is selected from the group consisting of

5 [(4-amino(N-isopropyl)methyl)phenyl]alanyl,  
3-(4-amino-N-isopropylphenyl)alanyl,  
arginyln,  
arginyln(N<sup>G</sup>N<sup>G</sup> diethyl),  
citrullyln,  
3-(cyclohexyl)alanyl(4-N-isopropyl),  
glycyl[4-piperidinyln(N-amidino)],  
10 (3-guanidino)alanyl,  
3-(4-guanidinophenyl)alanyl,  
histidyl,  
homoarginyln,  
lysyl,  
15 lysyl(N-epsilon-isopropyl),  
lysyl(N-epsilon-nicotinyln),  
norarginyln,  
ornithyl(N-delta-isopropyl),  
ornithyl(N-delta-nicotinyln),  
20 ornithyl[N-delta-(2-imidazolinyln)],  
[4-piperidinyln(N-amidino)]alanyl, and  
[3-pyrrolidinyln(2-N-amidino)]alanyl.

19 (original). A compound according to Claim 18 wherein Xaa<sub>9</sub> is arginyln.

20 (original). A compound according to Claim 1 wherein Xaa<sub>10</sub> is selected from the group consisting of

5 D-alanyl,  
2-aminobutyryln,  
2-aminoisobutyryln,  
*t*-butylglycyl,  
homopropyl,

hydroxypropyl,  
isoleucyl,  
10 leucyl,  
phenylalanyl,  
prolyl,  
D-prolyl,  
seryl,  
15 1,2,3,4-tetrahydroisoquinoline-3-carbonyl,  
threonyl, and  
valyl.

21 (original). A compound according to Claim 20 wherein Xaa<sub>10</sub> is prolyl.

22 (original). A compound according to Claim 1 wherein Xaa<sub>11</sub> is selected from the group consisting of

D-alanylamine,  
D-alanylethylamine,  
5 azaglycylamine,  
NH-cyclobutyl,  
NH-cycloheptyl,  
NH-1-(cyclohexyl)ethyl,  
NH-2-(cyclohexyl)ethyl,  
10 NH-2-(ethoxy)ethyl,  
NH-ethyl,  
glycylamine,  
glycylethylamine,  
NH-hexyl,  
15 NH-2-(hydroxy)ethyl,  
NH-isoamyl,  
NH-isobutyl,  
NH-2-(isopropoxy)ethyl,  
NH-isopropyl,  
20 NH-2-(methoxy)ethyl,  
NH-3-(methoxy)propyl,  
NH-propyl,  
NH-2-(1-pyrrolidine)ethyl,  
sarcosylamine,

25           serylamide, and  
          D-serylamide.

23 (original). A compound according to Claim 22 wherein Xaa<sub>11</sub> is selected from the group consisting of

          D-alanylamide, and  
          NH-ethyl.

5

24 (original). A compound according to Claim 1 wherein

          Xaa<sub>1</sub> is selected from the group consisting of  
          acetyl, and

5

          6-methylnicotinyl;

          Xaa<sub>2</sub> is sarcosyl;

          Xaa<sub>3</sub> is glycyl;

10

          Xaa<sub>4</sub> is selected from the group consisting of

          alloisoleucyl,

          allylglycyl,

          2-aminobutyryl,

15

          (1R,4S)-1-aminocyclopent-2-ene-4-carbonyl,

          3-(5-bromothiophen-2-yl)alanyl,

          3-(3-chlorophenyl)alanyl,

          3-(4-chlorophenyl)alanyl,

          3-(3-cyanophenyl)alanyl,

20

          cysteiny(S-ethyl),

          cysteiny(S-methyl),

          2,3-diaminopropionyl,

          2,4-diaminobutanoyl,

          3-(3,4-dimethoxyphenyl)alanyl,

25

          3-(3-fluorophenyl)alanyl,

          3-(4-fluorophenyl)alanyl,

          histidyl,

          homophenylalanyl,

          homoseryl,

30 lysyl(N-epsilon-acetyl),  
methionyl(sulfone),  
methionyl(sulfoxide),  
3-(4-methylphenyl)alanyl,  
3-(naphth-1-yl)alanyl,  
35 3-(naphth-2-yl)alanyl,  
ornithyl,  
phenylglycyl,  
prolyl,  
3-(3-pyridyl)alanyl,  
40 seryl(O-benzyl),  
styrylalanyl,  
1,2,3,4-tetrahydroisoquinoline-3-carbonyl,  
3-(thiazolyl)alanyl,  
3-(thien-2-yl)alanyl,  
45 D-3-(thien-2-yl)alanyl,  
tryptyl,  
tyrosyl, and  
D-valyl,

50 Xaa<sub>5</sub> is selected from the group consisting of  
isoleucyl,  
D-isoleucyl, and  
D-leucyl;

55 Xaa<sub>6</sub> is selected from the group consisting of  
seryl, and  
threonyl;

60 Xaa<sub>7</sub> is selected from the group consisting of  
glutaminyll,  
norvalyl, and  
seryl;

Xaa<sub>8</sub> is isoleucyl;

65 Xaa<sub>9</sub> is arginyl;

Xaa<sub>10</sub> is prolyl; and

70 Xaa<sub>11</sub> is selected from the group consisting of  
D-alanylamide, and  
NH-ethyl.

25 (original). A pharmaceutical composition comprising a compound of Claim 1 and a pharmaceutically acceptable carrier.

26 (canceled). A method of treating a patient in need of anti-angiogenesis therapy comprising administering to the patient in need a therapeutically effective amount of a compound of Claim 1.

27 (original). A composition for the treatment of a disease selected from cancer, arthritis, psoriasis, angiogenesis of the eye associated with infection or surgical intervention, macular degeneration and diabetic retinopathy comprising a compound of Claim 1 in combination with a pharmaceutically acceptable carrier.

5

28 (canceled). A method of isolating a receptor from an endothelial cell comprising binding a compound of Claim 1 to the receptor to form a peptide receptor complex; isolating the peptide receptor complex; and purifying the receptor.

29 (original). A compound, or a pharmaceutically acceptable salt thereof, selected from the group consisting of

N-Ac-Sar-Gly-5-BrThiAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-2-Nal-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

5 N-Ac-Sar-Gly-Orn-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-4-ClPheAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-HPheAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Cys(Me)-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Cys(Et)-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl, and

10 N-Ac-Sar-Gly-Tyr-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl.

30 (original). A compound, or a therapeutically acceptable salt thereof, selected from the group consisting of

N-Ac-Sar-Gly-Lys(Ac)-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,



- N-Ac-Sar-Gly-Pro-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
- 5 N-Ac-Sar-Gly-3-CNPheAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-Cys(Et)-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-4-ThzAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-(1R,4S)-AmCyeCO-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-3,4-diOMePheAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
- 10 N-Ac-Sar-Gly-4-MePheAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-3-ClPheAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-2-ThiAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-PheGly-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-2,4-Diabu-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
- 15 N-Ac-Sar-Gly-Met(O<sub>2</sub>)-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-1-Nal-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-2-Abu-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-Met(O)-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-His-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
- 20 N-Ac-Sar-Gly-Trp-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-Tic-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-StyAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-AllylGly-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-4-FPheAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
- 25 N-Ac-Sar-Gly-2,3-Diapr-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-Met(O<sub>2</sub>)-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl  
N-Ac-Sar-Gly-3-PyrAla-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-4-ClPheAla-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-1-Nal-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl
- 30 N-Ac-Sar-Gly-2-Nal-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-3-FPheAla-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-HPheAla-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-4-FPheAla-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-alloIle-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,
- 35 N-Ac-Sar-Gly-Ser(Bzl)-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-HSer-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-(1R,4S)-AmCyeCO-D-Leu-Ser-Ser-Ile-Arg-ProNH-ethyl,  
N-6MeNic-Sar-Gly-(1R,4S)-AmCyeCO-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-2-ThiAla-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
- 40 N-Ac-Sar-Gly-3-CNPhe-D-Leu-Thr-Nva-Ile-Arg-Pro-D-AlaNH<sub>2</sub>,

N-Ac-Sar-Gly-D-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,  
N-Ac-Sar-Gly-D-2-ThiAla-D-Leu-Thr-Nva-Ile-Arg-Pro-D-AlaNH<sub>2</sub>,  
N-Ac-Sar-Gly-(1R,4S)-AmCyeCO-D-Leu-Thr-Gln-Ile-Arg-ProNH-ethyl, and  
N-Ac-Sar-Gly-D-Val-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl.